

## EP0650371

Publication Title:

COVALENT POLAR LIPID-PEPTIDE CONJUGATES FOR BIOLOGICAL TARGETING.

Abstract:

Abstract not available for EP0650371

Abstract of corresponding document: WO9401138

This invention relates to a novel method of targeting biologically-active compounds to cells and organelles within cells. Specifically, the method comprises covalently linking such biologically-active compounds to a polar lipid carrier. The invention provides compositions of matter comprising such biologically-active compounds covalently linked to polar lipid carrier molecules that have the unique ability to both enhance the rate at which such biologically-active compounds cross cellular plasma membranes and to direct the compounds-lipid conjugate to specific organelles within the cell. The versatility of these conjugates may be further enhanced by including a spacer group between the drug and the lipid which may act to modulate release of the biologically-active compound at the target site. Specific embodiments of the compositions of the invention include antineoplastic and antiviral drugs. Other embodiments are provided for delivering and specific targeting of antigenically-active peptides to cells for the specific production of immunological reactivity against such peptides, as well as compositions and pharmaceutical compositions of matter comprising such peptides. These embodiments of the invention thereby provide improved methods for vaccine production and (in vivo) vaccination against pathogenic microorganisms and methods for alleviating autoimmune disease and ameliorating tissue and organ transplant rejection.

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